

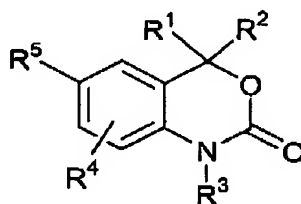
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AMENDMENTS TO THE CLAIMS

This listing of claims will replace all prior versions, and listings, of claims in the application:

Listing of Claims:

1 (Currently Amended). A method of hormone replacement therapy, the method comprising administering to a mammal in need thereof a compound of the formula (I):



I

wherein:

R^1 and R^2 are independent substituents selected from the group consisting of H, C_1 to C_6 alkyl, substituted C_1 to C_6 alkyl, C_2 to C_6 alkenyl, substituted C_2 to C_6 alkenyl, C_2 to C_6 alkynyl, substituted C_2 to C_6 alkynyl, C_3 to C_8 cycloalkyl, substituted C_3 to C_8 cycloalkyl, aryl, substituted aryl, heterocyclic, substituted heterocyclic, COR^A , and $NR^B COR^A$;

or R^1 and R^2 are fused to form:

- a) a carbon-based 3 to 8 membered saturated spirocyclic ring;
- b) a carbon-based 3 to 8 membered spirocyclic ring having in its backbone one or more carbon-carbon double bonds; or
- c) a carbon-based 3 to 8 membered heterocyclic ring having in its backbone one to three heteroatoms selected from the group consisting of O, S and N;

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the spirocyclic rings of a), b) and c) being optionally substituted by from 1 to 4 groups selected from the group consisting of fluorine, C₁ to C₆ alkyl, C₁ to C₆ alkoxy, C₁ to C₆ thioalkyl, CF₃, OH, CN, NH₂, NH(C₁ to C₆ alkyl), and N(C₁ to C₆ alkyl)₂;

R^A is H, C₁ to C₃ alkyl, substituted C₁ to C₃ alkyl, aryl, substituted aryl, C₁ to C₃ alkoxy, substituted C₁ to C₃ alkoxy, C₁ to C₃ aminoalkyl, or substituted C₁ to C₃ aminoalkyl;

R^B is H, C₁ to C₃ alkyl, or substituted C₁ to C₃ alkyl;

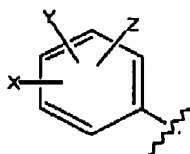
R³ is H, OH, NH₂, C₁ to C₆ alkyl, substituted C₁ to C₆ alkyl, C₃ to C₆ alkenyl, substituted C₃ to C₆ alkenyl, alkynyl, substituted alkynyl, or COR^C;

R^C is H, C₁ to C₄ alkyl, substituted C₁ to C₄ alkyl, aryl, substituted aryl, C₁ to C₄ alkoxy, substituted C₁ to C₄ alkoxy, C₁ to C₄ aminoalkyl, or substituted C₁ to C₄ aminoalkyl;

R⁴ is H, halogen, CN, NO₂, C₁ to C₆ alkyl, substituted C₁ to C₆ alkyl, alkynyl, substituted alkynyl, C₁ to C₆ alkoxy, substituted C₁ to C₆ alkoxy, amino, C₁ to C₆ aminoalkyl, or substituted C₁ to C₆ aminoalkyl;

R⁵ is selected from the group consisting of (i) and (ii):

(i) a substituted benzene ring having the substituents X, Y and Z as shown below:



wherein:

X is selected from the group consisting of H, halogen, CN, C₁ to C₃ alkyl, substituted C₁ to C₃ alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, C₁ to C₃ alkoxy, substituted C₁ to C₃ alkoxy, C₁ to C₃ thioalkoxy, substituted C₁ to C₃ thioalkoxy, amino, C₁ to C₃ aminoalkyl, substituted C₁ to C₃ aminoalkyl, NO₂, C₁ to C₃ perfluoroalkyl, 5 or 6 membered heterocyclic ring containing in its backbone 1 to 3 heteroatoms selected from the group consisting of O, S, and N, COR^D, OCOR^D, and NR^ECOR^D;

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R^D is H, C_1 to C_3 alkyl, substituted C_1 to C_3 alkyl, aryl, substituted aryl, C_1 to C_3 alkoxy, substituted C_1 to C_3 alkoxy, C_1 to C_3 aminoalkyl, or substituted C_1 to C_3 aminoalkyl;

R^E is H, C_1 to C_3 alkyl, or substituted C_1 to C_3 alkyl;

Y and Z are independent substituents selected from the group consisting of H, halogen, CN, NO_2 , amino, aminoalkyl, C_1 to C_3 alkoxy, C_1 to C_4 alkyl, and C_1 to C_3 thioalkoxy;

wherein X, Y, and Z are not all H; and

(ii) a five or six membered ring having in its backbone 1, 2, or 3 heteroatoms selected from the group consisting of O, S, SO, SO_2 and NR^G and containing one or two independent substituents selected from the group consisting of H, halogen, CN, NO_2 , amino, C_1 to C_4 alkyl, C_1 to C_3 alkoxy, C_1 to C_3 aminoalkyl, COR^F , and $NR^G COR^F$;

R^F is H, C_1 to C_3 alkyl, substituted C_1 to C_3 alkyl, aryl, substituted aryl, C_1 to C_3 alkoxy, substituted C_1 to C_3 alkoxy, C_1 to C_3 aminoalkyl, or substituted C_1 to C_3 aminoalkyl;

R^G is H, C_1 to C_3 alkyl, or substituted C_1 to C_3 alkyl;

R^G is H, C_1 to C_3 alkyl, or C_1 to C_4 CO_2 alkyl;

wherein when R^5 is a five-membered ring having in its backbone a NR^G heteroatom, and when R^5 is attached at the two position of said ring, there is no CN substituent in the five position on said ring;
or pharmaceutically acceptable salt thereof.

2(Original). The method according to Claim 1, wherein:

R^1 is H, C_1 to C_6 alkyl, substituted C_1 to C_6 alkyl, C_3 to C_8 cycloalkyl, substituted C_3 to C_8 cycloalkyl, aryl, substituted aryl, heterocyclic, substituted heterocyclic, COR^A , or $NR^B COR^A$;

R^2 is H, C_1 to C_6 alkyl, substituted C_1 to C_6 alkyl, C_2 to C_6 alkenyl, substituted C_2 to C_6 alkenyl, C_3 to C_8 cycloalkyl, substituted C_3 to C_8 cycloalkyl, aryl, substituted aryl, heterocyclic, substituted heterocyclic, COR^A , or $NR^B COR^A$;

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R⁵ is (i) or (ii):

(i) the substituted benzene ring, wherein:

X is selected from the group consisting of halogen, CN, C₁ to C₃ alkyl, substituted C₁ to C₃ alkyl, C₁ to C₃ alkoxy, substituted C₁ to C₃ alkoxy, C₁ to C₃ thioalkoxy, substituted C₁ to C₃ thioalkoxy, amino, C₁ to C₃ aminoalkyl, substituted C₁ to C₃ aminoalkyl, NO₂, C₁ to C₃ perfluoroalkyl, 5 membered heterocyclic ring containing in its backbone 1 to 3 heteroatoms selected from the group consisting of N, O, and S, COR^D, OCOR^D, and NR^ECOR^D;

Y and Z are independent substituents selected from the group consisting of H, halogen, CN, NO₂, C₁ to C₃ alkoxy, C₁ to C₃ alkyl, and C₁ to C₃ thioalkoxy; or

(ii) the five or six membered ring, wherein said one or two independent substituents selected from the group consisting of H, halogen, CN, NO₂, amino, C₁ to C₃ alkyl, and C₁ to C₃ alkoxy;

R⁶ is H or C₁ to C₃ alkyl.

3(Original). The method according to Claim 1, wherein:

R¹ is H, C₁ to C₆ alkyl, substituted C₁ to C₆ alkyl, C₃ to C₈ cycloalkyl, substituted C₃ to C₈ cycloalkyl, aryl, substituted aryl, heterocyclic, substituted heterocyclic, COR^A, or NR^BCOR^A;

R⁴ is H, halogen, CN, NO₂, C₁ to C₆ alkyl, substituted C₁ to C₆ alkyl, C₁ to C₆ alkoxy, substituted C₁ to C₆ alkoxy, amino, C₁ to C₆ aminoalkyl, or substituted C₁ to C₆ aminoalkyl;

R⁵ is (iii) or (iv):

(iii) the substituted benzene ring, wherein

X is selected from the group consisting of halogen, CN, C₁ to C₃ alkyl, substituted C₁ to C₃ alkyl, C₁ to C₃ alkoxy, substituted C₁ to C₃ alkoxy, C₁ to C₃ thioalkoxy, substituted C₁ to C₃ thioalkoxy, amino, C₁ to C₃ aminoalkyl, substituted C₁ to C₃ aminoalkyl, NO₂, C₁ to C₃ perfluoroalkyl, 5 membered heterocyclic ring containing in

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its backbone 1 to 3 heteroatoms selected from the group consisting of N, O, and S, COR^D, OCOR^D, and NR^ECOR^D;

Y and Z are independent substituents selected from the group consisting of H, halogen, CN, NO₂, C₁ to C₃ alkoxy, C₁ to C₃ alkyl, and C₁ to C₃ thioalkoxy; or

(iv) the five or six membered ring, wherein said ring contains one or two independent substituents selected from the group consisting of H, halogen, CN, NO₂, amino, C₁ to C₃ alkyl, and C₁ to C₃ alkoxy;

R⁶ is H or C₁ to C₃ alkyl.

4(Original). The method according to Claim 1, wherein:

R¹ = R² and are selected from the group consisting of C₁ to C₃ alkyl and substituted C₁ to C₃ alkyl, or R¹ and R² are fused to form the carbon-based 3 to 6 membered saturated spirocyclic ring;

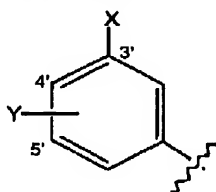
R³ is H, OH, NH₂, C₁ to C₆ alkyl, substituted C₁ to C₆ alkyl, or COR^C;

R^C is H, C₁ to C₄ alkyl, or C₁ to C₄ alkoxy;

R⁴ is H, halogen, CN, NO₂, C₁ to C₃ alkyl, substituted C₁ to C₃ alkyl, C₁ to C₃ alkoxy, or substituted C₁ to C₃ alkoxy;

R⁵ is (v), (vi), or (vii):

(v) the substituted benzene ring of the structure:



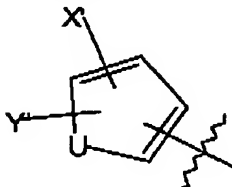
wherein:

X is halogen, CN, C₁ to C₃ alkoxy, C₁ to C₃ alkyl, NO₂, C₁ to C₃ perfluoroalkyl, 5 membered heterocyclic ring containing in its backbone 1 to 3 heteroatoms selected from the group consisting of N, O, and S, or C₁ to C₃ thioalkoxy;

Y is H, halogen, CN, NO₂, C₁ to C₃ alkoxy, C₁ to C₄ alkyl, or C₁ to C₃ thioalkoxy;

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(vi) the five membered ring having the structure:



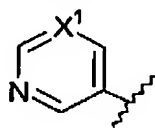
wherein:

U is O, S, or NR⁶;

X' is selected from the group consisting of halogen, CN, NO₂, C₁ to C₃ alkyl, and C₁ to C₃ alkoxy;

Y' is selected from the group consisting of H and C₁ to C₄ alkyl; or

(vii) the six membered ring having the structure:

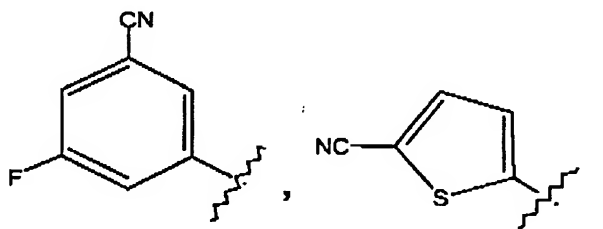


wherein:

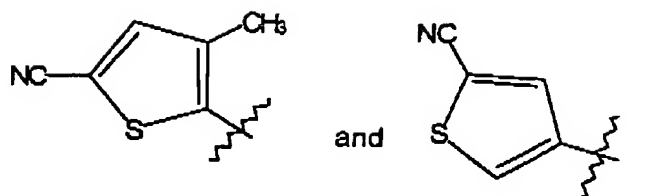
X¹ is N or CX²;

X² is halogen, CN, C₁ to C₃ alkoxy, or NO₂.

5(Original). The method according to Claim 4, wherein R⁵ is selected from the group consisting of:



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6(Original). The method according to Claim 1, wherein:

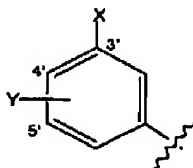
R^1 and R^2 are CH_3 or R^1 and R^2 are fused to form the carbon-based 6 membered saturated spirocyclic ring;

R^3 is H, OH, NH_2 , CH_3 , substituted CH_3 , or COR^C ;

R^C is H, C_1 to C_3 alkyl, or C_1 to C_4 alkoxy;

R^4 is H, halogen, NO_2 , CN, or C_1 to C_3 alkyl;

R^5 is the substituted benzene ring having the formula:



wherein:

X is selected from the group consisting of halogen, CN, methoxy, NO_2 , and the five-membered heterocyclic ring, wherein said ring is 2-thiazole;

Y is H or halogen, wherein said halogen is F.

7(Original). The method according to Claim 1, wherein:

R^1 and R^2 are CH_3 or R^1 and R^2 are fused to form the carbon-based 6 membered saturated spirocyclic ring;

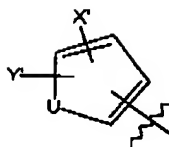
R^3 is H, OH, NH_2 , CH_3 , substituted CH_3 , or COR^C ;

R^C is H, C_1 to C_3 alkyl, or C_1 to C_4 alkoxy;

R^4 is H, halogen, NO_2 , CN, or C_1 to C_3 alkyl;

R^5 is the five membered ring having the structure:

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wherein:

U is O, S, or NH;

X' is halogen, CN, or NO₂, provided that when U is NH, then X' is not CN;

Y' is H or C₁ to C₄ alkyl.

8(Original). The method according to Claim 1, wherein said compound is selected from the group consisting of:

- a) 6-(3-Chlorophenyl)-4,4-dimethyl-1,4-dihydrobenzo[d][1,3]oxazin-2-one;
 - b) 6-(3-Methoxy-phenyl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]-oxazin-2-one;
 - c) 6-(2-Chloro-phenyl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one;
 - d) 6-(4-Chloro-phenyl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]-oxazin-2-one;
 - e) 6-(3-Chloro-phenyl)-4-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one;
 - f) 6-(3-Chloro-phenyl)-4-ethyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one; and
 - g) 6-(3-Chloro-phenyl)-4-phenyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one;
- or a pharmaceutically acceptable salt thereof.

9(Original). The method according to Claim 1, wherein said compound is selected from the group consisting of:

- a) 3-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-benzonitrile;
- b) 4,4-Dimethyl-6-(3-nitrophenyl)-1,4-dihydrobenzo[d][1,3]oxazin-2-one;
- c) 6-(3-Bromo-5-fluorophenyl)-4,4-dimethyl-1,4-dihydrobenzo[d][1,3]oxazin-2-one;

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- d) 3-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-5-fluorobenzonitrile;
- e) 5-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-nicotinonitrile;
- f) 4-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-thiophene-2-carbonitrile;
- g) 5-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-thiophene-2-carbonitrile;
- h) 5-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-4-methyl-thiophene-2-carbonitrile;
- i) 4-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-furan-2-carbonitrile; and
- j) 4,4-Diethyl-6-(3-nitrophenyl)-1,4-dihydrobenzo[d][1,3]oxazin-2-one; or a pharmaceutically acceptable salt thereof.

10(Original). The method according to Claim 1, wherein said compound is selected from the group consisting of:

- a) 6-(3-Chlorophenyl)-4,4-diethyl-1,4-dihydrobenzo[d][1,3]oxazin-2-one;
- b) 6-(3-Chlorophenyl)-spiro[4H-3,1-benzoxazine-4,1'-cyclohexane]-2-(1H)-one;
- c) 6-(3-Chlorophenyl)-spiro-[4H-3,1-benzoxazine-4,1'-cyclopentane]-2(1H)-one;
- d) 6-(3-Nitrophenyl)-spiro[4H-3,1-benzoxazine-4,1'-cyclohexan]-2(1H)-one;
- e) 4-Allyl-6-(3-chlorophenyl)-4-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one;
- f) 6-(3-Chlorophenyl)-4-methyl-4-propyn-1-yl-1,4-dihydro-benzo[d][1,3]oxazin-2-one; and

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g) 6-(3-Chlorophenyl)-4-ethynyl-4-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one;
or a pharmaceutically acceptable salt thereof.

11(Original). The method according to Claim 1, wherein said compound is selected from the group of:

- a) 6-(3-Chlorophenyl)-4-methyl-4-phenyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one;
 - b) 4-Benzyl-6-(3-chloro-phenyl)-4-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one;
 - c) 6-(3-Chloro-phenyl)-4-cyclopropyl-4-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one;
 - d) 6-(3-Chloro-phenyl)-4-cyclopropyl-4-propyn-1-yl-1,4-dihydro-benzo[d][1,3]oxazin-2-one;
 - e) 6-(3-Chloro-phenyl)-4,4-dicyclopropyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one;
 - f) 6-(3-Chloro-phenyl)-4,4-dipropyn-1-yl-1,4-dihydrobenzo[d][1,3]oxazin-2-one;
 - g) 6-(3-Bromo-5-fluorophenyl)-1,4,4-trimethyl-1,4-dihydrobenzo[d][1,3]oxazin-2-one; and
 - h) 6-(3-Methoxyphenyl)-4-methyl-4-trifluoromethyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one;
- or a pharmaceutically acceptable salt thereof.

12(Original). The method according to Claim 1, wherein said compound is selected from the group consisting of:

- a) 6-(3-Acetyl-phenyl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]-oxazin-2-one;

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- b) 6-(3-Benzoyl-phenyl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]-oxazin-2-one;
 - c) 4-(4,4-Dicyclopropyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-thiophene-2-carbonitrile;
 - d) 6-(3-Bromo-5-fluoro-phenyl)-4,4-dicyclopropyl-1,4-dihydrobenzo-[d][1,3]oxazin-2-one;
 - e) 3-(4,4-Dicyclopropyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-5-fluoro-benzonitrile;
 - f) 6-(3-Bromo-5-methyl-phenyl)-4,4-dimethyl-1,4-dihydrobenzo-[d][1,3]oxazin-2-one;
 - g) 6-(3-Bromo-5-trifluoromethoxy-phenyl)-4,4-dimethyl-1,4-dihydrobenzo[d][1,3]-oxazin-2-one; and
 - h) 3-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-5-methyl-benzonitrile;
- or a pharmaceutically acceptable salt thereof.

13(Original). The method according to Claim 1, wherein said compound is selected from the group consisting of:

- a) 3-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-5-trifluoromethoxy-benzonitrile;
- b) 6-(3,5-difluoro-phenyl)-4,4-dimethyl-1,4-dihydrobenzo-[d][1,3]oxazin-2-one;
- c) 6-(3,5-dichloro-phenyl)-4,4-dimethyl-1,4-dihydrobenzo-[d][1,3]oxazin-2-one;
- d) 6-(3,5-Bis-trifluoromethyl-phenyl)-4,4-dimethyl-1,4-dihydrobenzo[d][1,3]oxazin-2-one;
- e) 3-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-5-methoxy-benzonitrile;

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- f) 6-(3-Fluoro-phenyl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]-oxazin-2-one;
 - g) 6-(3-Chloro-4-fluoro-phenyl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one;
 - h) 3-(1-Diethoxymethyl-4,4-dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-5-fluoro-benzonitrile; and
 - i) 3-Fluoro-5-(1-methoxymethyl-4,4-dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-benzonitrile;
- or a pharmaceutically acceptable salt thereof.

14(Original). The method according to Claim 1, wherein said compound is selected from the group consisting of:

- a) Phosphoric acid 6-(3-cyano-5-fluoro-phenyl)-4,4-dimethyl-4H-benzo[d][1,3]oxazin-2-yl ester diethyl ether;
 - b) 3-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-4-fluoro-benzonitrile;
 - c) 6-(3-Chloro-4-fluoro-phenyl)-8-fluoro-4,4-dimethyl-1,4-dihydrobenzo[d][1,3]-oxazin-2-one;
 - d) 6-(3-Bromo-phenyl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]-oxazin-2-one;
 - e) 6-(3-Ethynyl-phenyl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]-oxazin-2-one;
 - f) 3-[3-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-phenyl]-propynenitrile;
 - g) 6-(3-Fluoro-5-nitro-phenyl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one; and
 - h) 6-(3-Chloro-5-fluoro-phenyl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one;
- or a pharmaceutically acceptable salt thereof.

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15(Original). The method according to Claim 1, wherein said compound is selected from the group consisting of:

- a) 3-Chloro-5-(4,4-dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-benzonitrile;
 - b) 6-(3,5-Dinitro-phenyl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one;
 - c) 5-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-isophthalonitrile;
 - d) 4,4-Dimethyl-6-(3-thiazol-2-yl-phenyl)-1,4-dihydro-benzo[d][1,3]oxazin-2-one;
 - e) 6-(3-Fluoro-5-methoxy-phenyl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one;
 - f) 6-(3-Fluoro-5-trifluoromethyl-phenyl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one;
 - g) 6-(5-Bromo-pyridin-3-yl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one;
 - h) 6-(3-Cyano-5-fluoro-phenyl)-4,4-dimethyl-2-oxo-4H-benzo[d][1,3]oxazine-1-carboxylic acid tert-butyl ester; and
 - i) 5-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-2-fluoro-benzonitrile;
- or a pharmaceutically acceptable salt thereof.

16(Original). The method according to Claim 1, wherein said compound is selected from the group consisting of:

- a) 4-(8-Fluoro-4,4-dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-thiophene-2-carbonitrile;
- b) 3-Fluoro-5-(8-fluoro-4,4-dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-benzonitrile;

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- c) 5-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-thiophene-3-carbonitrile;
 - d) 2-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-thiophene-3-carbonitrile;
 - e) 6-(1,2,4-thiadiazol-3-yl-phenyl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one;
 - f) 6-(3-Fluoro-5-thiophen-3-yl-phenyl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one;
 - g) 2-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-pyrrole-1-carboxylic acid tert-butyl ester;
 - h) 2-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-5-nitro-pyrrole-1-carboxylic acid tert-butyl ester;
 - i) 4,4-Dimethyl-6-(5-nitro-1H-pyrrol-2-yl)-1,4-dihydrobenzo[d][1,3]oxazin-2-one; and
 - j) 4,4-Dimethyl-6-(1H-pyrrol-2-yl)-1,4-dihydro-benzo[d][1,3]-oxazin-2-one;
- or a pharmaceutically acceptable salt thereof.

17(Original). The method according to Claim 1, wherein said compound is selected from the group consisting of:

- a) 4,4-Dimethyl-6-(1-methyl-1H-pyrrol-2-yl)-1,4-dihydro-benzo[d][1,3]oxazin-2-one;
- b) 4,4-Dimethyl-6-(1-methyl-5-nitro-1H-pyrrol-2-yl)-1,4-dihydro-benzo[d][1,3]oxazin-2-one;
- c) 3-(1,2-Dihydro-2-oxospiro[4H-3,1-benzoxazine-4,1-cyclohexan]-6-yl)-benzonitrile;
- d) 3-(1,2-Dihydro-2-oxospiro[4H-3,1-benzoxazine-4,1-cyclohexan]-6-yl)-5-fluorobenzonitrile;

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- e) 4-(1,2-Dihydro-2-oxospiro[4H-3,1-benzoxazine-4,1-cyclohexan]-6-yl)-2-thiophenecarbonitrile;
 - f) 5-(1,2-Dihydro-2-oxospiro[4H-3,1-benzoxazine-4,1-cyclohexan]-6-yl)-2-thiophenecarbonitrile;
 - g) 5-(1,2-Dihydro-2-oxospiro[4H-3,1-benzoxazine-4,1-cyclohexan]-6-yl)-4-methyl-2-thiophenecarbonitrile;
 - h) 5-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-4-ethyl-thiophene-2-carbonitrile; and
 - i) 5-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-4-n-propyl-thiophene-2-carbonitrile;
- or a pharmaceutically acceptable salt thereof.

18(Original). The method according to Claim 1, wherein said compound is selected from the group consisting of:

- a) 5-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-4-n-butyl-thiophene-2-carbonitrile;
- b) 6-(4-Cyano-3-fluoro-phenyl)-4,4-dimethyl-1,4-dihydrobenzo[d][1,3]-oxazin-2-one;
- c) 6-(4-Fluoro-phenyl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]-oxazin-2-one;
- d) 6-(3,4-Difluoro-phenyl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one;
- e) 6-(2-Fluoro-phenyl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]-oxazin-2-one;
- f) 3-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]-oxazin-6-yl)phenylacetonitrile;
- g) 5-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-furan-2-carbonitrile; and

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- h) 3-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-2-fluoro-benzonitrile;
or a pharmaceutically acceptable salt thereof.

19(Original). The method according to claim 1, wherein said compound is selected from the group consisting of:

- a) 6-(3-Methoxyphenyl)spiro[4H-3,1-benzoxazine-4,1-cyclobutan]-2(1H)-one;
- b) 8-Bromo-6-(3-chloro-4-fluorophenyl)-4,4-dimethyl-1,4-dihydro-2H-3,1-benzoxazin-2-one;
- c) 3-(8-Bromo-4,4-dimethyl-2-oxo-1,4-dihydro-2H-3,1-benzoxazin-6-yl)-5-fluorobenzonitrile;
- d) 3-(8-Bromo-4,4-dimethyl-2-oxo-1,4-dihydro-2H-3,1-benzoxazin-6-yl)-5-fluorobenzonitrile;
- e) 5-(8-Bromo-4,4-dimethyl-2-oxo-1,4-dihydro-2H-3,1-benzoxazin-6-yl)-2-fluorobenzonitrile;
- f) 6-(3-Bromophenyl)-1,4,4-trimethyl-1,4-dihydro-2H-3,1-benzoxazin-2-one;
- g) 6-(3-Fluorophenyl)-4-methyl-1,4-dihydro-2H-3,1-benzoxazin-2-one;
- h) 3-(4,4-Dimethyl-8-methoxy-2-oxo-1,4-dihydro-2H-3,1-benzoxazin-6-yl)-5-fluorobenzonitrile;
- i) 3-(4,4-Dimethyl-8-hydroxy-2-oxo-1,4-dihydro-2H-3,1-benzoxazin-6-yl)-5-fluorobenzonitrile;
- j) 6-(2,3-Difluoro-phenyl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one;
- k) 3-(1-Ethyl-4,4-dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-5-fluoro-benzonitrile;
- l) [6-(4,4-dimethyl-2-oxo-1,4-dihydro-2H-3,1-benzoxazin-6-yl)pyridin-2-yl]acetonitrile;

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- m) 3-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]-oxazin-6-yl)-5-fluoro-phenylacetonitrile;
- n) 3-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]-oxazin-6-yl)-4-fluoro-phenylacetonitrile;
- o) 4-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-2-fluorophenylacetonitrile;
- p) 2-(4,4-Dimethyl 2-oxo-1,4-dihydro-2H-benzo[d][1,3]-oxazin-6-yl)phenylacetonitrile;
- q) 6-(3-Fluoro-4-methoxy-phenyl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one;
- r) 3-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]-oxazin-6-yl)phenylacetonitrile;
- s) 6-(6-Amino-pyridin-3-yl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]oxazine-2-one;
- t) 6-(5-Diethoxymethyl-furan-3-yl) -4,4-dimethyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one; and
- u) 4-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-furan-2-carbaldehyde;
- or a pharmaceutically acceptable salt thereof.

20(Original). The method according to claim 1, wherein R⁵ is said five-membered ring b).

21(Original). The method according to claim 20, wherein said five-membered ring b) is a thiophene group.

22(Original). The method according to claim 20, wherein said five-membered ring b) is a furan group.

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23(Original). The method according to claim 20, wherein said five-membered ring b) is a pyrrole group.

24(Original). The method according to claim 20, wherein said five-membered ring b) is a thiazole group.

25(Original). The method according to claim 20, wherein said five-membered ring b) is an oxazole group.

26(Original). The method according to claim 20, wherein said five-membered ring b) is an imidazole group.

27(Original). The method according to claim 1, wherein R^5 is said six-membered ring b).

28(Original). The method according to claim 27, wherein said six-membered ring b) is a pyridine group.

29(Original). The method according to claim 1, wherein R^5 is said substituted benzene ring a).

30(Original). The method according to claim 29, wherein said substituted benzene ring a) is an optionally substituted phenyl group.

31(Original). The method according to claim 30, wherein said substituted phenyl group is a 3-chloro-4-fluoro-phenyl group.

32(Original). The method according to claim 30, wherein said substituted phenyl group is a 3,5-dichloro-phenyl group.

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33(Original). The method according to claim 30, wherein said substituted phenyl group is a 3-cyano-4-fluoro-phenyl group.

34(Original). The method according to claim 30, wherein said substituted phenyl group is a 3,4-difluoro-phenyl group.

35(Original). The method according to claim 30, wherein said substituted phenyl group is a 3-cyano-5-chloro-phenyl group.

36(Original). The method according to claim 30, wherein said substituted phenyl group is a 3-trifluoromethyl-5-fluoro-phenyl group.

37(Original). The method according to claim 30, wherein said substituted phenyl group is a 2-fluoro-3-cyano-phenyl group.

38(Original). The method according to claim 30, wherein said substituted phenyl group is a 2-fluoro-phenyl group.

39(Original). The method according to claim 30, wherein said substituted phenyl group is a 4-cyano-3-furanyl-phenyl group.

40(Original). The method according to claim 30, wherein said substituted phenyl group is a 3,4-dichloro-phenyl group.

41(Original). The method according to claim 30, wherein said substituted phenyl group is a 3-fluoro-4-chloro-phenyl group.

42(Original). The method according to claim 30, wherein said substituted phenyl group is a 3-bromo-4-fluoro-phenyl group.

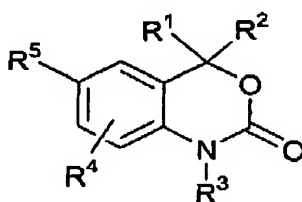
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43(Original). The method according to claim 30, wherein said substituted phenyl group is a 3,5-dibromo-phenyl group.

44(Original). The method according to claim 1, wherein R^1 and R^2 are C_1 to C_6 alkyl.

45(Original). A method of hormone replacement therapy, the method comprising administering to a mammal in need thereof a compound selected from the group consisting of 4,4-Dimethyl-6-[3-(1H-tetrazol-5-yl)-phenyl]-1,4-dihydrobenzo[d][1,3]oxazin-2-one; 4,4-Dimethyl-6-(3-trimethylsilanylethynyl-phenyl)-1,4-dihydro-benzo[d][1,3]oxazin-2-one; 6-(5-Bromo-1-oxy-pyridin-3-yl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one; N-[4-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-3,1-benzoxazin-6-yl)-2-fluoro-phenyl]-acetamide; 3-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]-oxazin-6-yl) benzenesulfonamide; 5-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]-oxazin-6-yl)-thiophene-2-sulfonamide; and 4-(1,4-Dihydro-4,4-dimethyl-2-oxo-2H-3,1-benzoxazin-6-yl)-2-furancarboxaldehyde oxime; or pharmaceutically acceptable salt thereof.

46(Currently Amended). A method of hormone replacement therapy, the method comprising administering to a mammal in need thereof a compound of the formula (I):



I

wherein:

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R^1 and R^2 are independent substituents selected from the group consisting of H, C_1 to C_6 alkyl, substituted C_1 to C_6 alkyl, C_2 to C_6 alkenyl, substituted C_2 to C_6 alkenyl, C_2 to C_6 alkynyl, substituted C_2 to C_6 alkynyl, C_3 to C_8 cycloalkyl, substituted C_3 to C_8 cycloalkyl, aryl, substituted aryl, heterocyclic, substituted heterocyclic, COR^A , and $NR^B COR^A$;

or R^1 and R^2 are fused to form:

- a) a carbon-based 3 to 8 membered saturated spirocyclic ring;
- b) a carbon-based 3 to 8 membered spirocyclic ring having in its backbone one or more carbon-carbon double bonds; or
- c) a carbon-based 3 to 8 membered heterocyclic ring having in its backbone one to three heteroatoms selected from the group consisting of O, S and N;

the spirocyclic rings of a), b) and c) being optionally substituted by from 1 to 4 groups selected from the group consisting of fluorine, C_1 to C_6 alkyl, C_1 to C_6 alkoxy, C_1 to C_6 thioalkyl, CF_3 , OH, CN, NH_2 , $NH(C_1$ to C_6 alkyl), and $N(C_1$ to C_6 alkyl) $_2$;

R^A is H, C_1 to C_3 alkyl, substituted C_1 to C_3 alkyl, aryl, substituted aryl, C_1 to C_3 alkoxy, substituted C_1 to C_3 alkoxy, C_1 to C_3 aminoalkyl, or substituted C_1 to C_3 aminoalkyl;

R^B is H, C_1 to C_3 alkyl, or substituted C_1 to C_3 alkyl;

R^3 is H, OH, NH_2 , C_1 to C_6 alkyl, substituted C_1 to C_6 alkyl, C_3 to C_6 alkenyl, substituted C_3 to C_6 alkenyl, alkynyl, substituted alkynyl, or COR^C ;

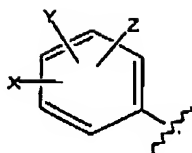
R^C is H, C_1 to C_3 alkyl, substituted C_1 to C_3 alkyl, aryl, substituted aryl, C_1 to C_3 alkoxy, substituted C_1 to C_3 alkoxy, C_1 to C_3 aminoalkyl, or substituted C_1 to C_3 aminoalkyl;

R^4 is H, halogen, CN, NO_2 , C_1 to C_6 alkyl, substituted C_1 to C_6 alkyl, alkynyl, substituted alkynyl, C_1 to C_6 alkoxy, substituted C_1 to C_6 alkoxy, amino, C_1 to C_6 aminoalkyl, or substituted C_1 to C_6 aminoalkyl;

R^5 is selected from the group consisting of (i) and (ii):

- (i) a substituted benzene ring having the substituents X, Y and Z as shown below:

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wherein:

X is selected from the group consisting of H, halogen, CN, C₁ to C₃ alkyl, substituted C₁ to C₃ alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, C₁ to C₃ alkoxy, substituted C₁ to C₃ alkoxy, C₁ to C₃ thioalkoxy, substituted C₁ to C₃ thioalkoxy, amino, C₁ to C₃ aminoalkyl, substituted C₁ to C₃ aminoalkyl, NO₂, C₁ to C₃ perfluoroalkyl, 5 or 6 membered heterocyclic ring containing in its backbone 1 to 3 heteroatoms selected from the group consisting of O, S, and N, COR^D, OCOR^D, and NR^ECOR^D;

R^D is H, C₁ to C₃ alkyl, substituted C₁ to C₃ alkyl, aryl, substituted aryl, C₁ to C₃ alkoxy, substituted C₁ to C₃ alkoxy, C₁ to C₃ aminoalkyl, or substituted C₁ to C₃ aminoalkyl;

R^E is H, C₁ to C₃ alkyl, or substituted C₁ to C₃ alkyl;

Y and Z are independent substituents selected from the group consisting of H, halogen, CN, NO₂, amino, aminoalkyl, C₁ to C₃ alkoxy, C₁ to C₃ alkyl, and C₁ to C₃ thioalkoxy;

wherein X, Y, and Z are not all H; and

(ii) a five or six membered ring having in its backbone 1, 2, or 3 heteroatoms selected from the group consisting of O, S, SO, SO₂ and NR⁶ and containing one or two independent substituents selected from the group consisting of H, halogen, CN, NO₂, amino, C₁ to C₃ alkyl, C₁ to C₃ alkoxy, C₁ to C₃ aminoalkyl, COR^F, and NR^GCOR^F;

R^F is H, C₁ to C₃ alkyl, substituted C₁ to C₃ alkyl, aryl, substituted aryl, C₁ to C₃ alkoxy, substituted C₁ to C₃ alkoxy, C₁ to C₃ aminoalkyl, or substituted C₁ to C₃ aminoalkyl;

R^G is H, C₁ to C₃ alkyl, or substituted C₁ to C₃ alkyl;

R⁶ is H or C₁ to C₃ alkyl;

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wherein when R⁵ is a five-membered ring having in its backbone a NR⁶ heteroatom, and when R⁵ is attached at the two position of said ring, there is no CN substituent in the five position on said ring;
or a pharmaceutically acceptable salt thereof.

47(Original). The method according to Claim 46, wherein said compound is selected from the group consisting of 6-(3-Chlorophenyl)-4,4-dimethyl-1,4-dihydrobenzo[d][1,3]oxazin-2-one; 6-(3-Methoxy-phenyl)-4,4-dimethyl-1,4-dihydrobenzo[d][1,3]-oxazin-2-one; 6-(2-Chloro-phenyl)-4,4-dimethyl-1,4-dihydrobenzo[d][1,3]oxazin-2-one; 6-(4-Chloro-phenyl)-4,4-dimethyl-1,4-dihydrobenzo[d][1,3]-oxazin-2-one; 6-(3-Chloro-phenyl)-4-methyl-1,4-dihydrobenzo[d][1,3]oxazin-2-one; 6-(3-Chloro-phenyl)-4-ethyl-1,4-dihydrobenzo[d][1,3]oxazin-2-one; 6-(3-Chloro-phenyl)-4-phenyl-1,4-dihydrobenzo[d][1,3]oxazin-2-one; 3-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-benzonitrile; 4,4-Dimethyl-6-(3-nitrophenyl)-1,4-dihydrobenzo[d][1,3]oxazin-2-one; 6-(3-Bromo-5-fluorophenyl)-4,4-dimethyl-1,4-dihydrobenzo[d][1,3]oxazin-2-one; 3-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-5-fluorobenzonitrile; 5-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-nicotinonitrile; 4-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-thiophene-2-carbonitrile; 5-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-thiophene-2-carbonitrile; 5-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-4-methylthiophene-2-carbonitrile; 4-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-furan-2-carbonitrile; 4,4-Diethyl-6-(3-nitrophenyl)-1,4-dihydrobenzo[d][1,3]oxazin-2-one; 6-(3-Chlorophenyl)-4,4-diethyl-1,4-dihydrobenzo[d][1,3]oxazin-2-one; 6-(3-Chlorophenyl)-spiro[4H-3,1-benzoxazine-4,1'-cyclohexane]-2-(1H)-one; 6-(3-Chlorophenyl)-spiro[4H-3,1-benzoxazine-4,1'-cyclopentane]-2(1H)-one; 6-(3-Nitrophenyl)-spiro[4H-3,1-benzoxazine-4,1'-cyclohexan]-2(1H)-one; 4-Allyl-6-(3-chlorophenyl)-4-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one; 6-(3-Chlorophenyl)-4-methyl-4-propyn-1-yl-1,4-dihydro-benzo[d][1,3]oxazin-2-one; 6-(3-Chlorophenyl)-4-

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ethynyl-4-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one; 6-(3-Chlorophenyl)-4-methyl-4-phenyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one; 4-Benzyl-6-(3-chloro-phenyl)-4-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one; 6-(3-Chloro-phenyl)-4-cyclopropyl-4-methyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one; 6-(3-Chloro-phenyl)-4-cyclopropyl-4-propyn-1-yl-1,4-dihydro-benzo[d][1,3]oxazin-2-one; 6-(3-Chloro-phenyl)-4,4-dicyclopropyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one; 6-(3-Chloro-phenyl)-4,4-dipropyn-1-yl-1,4-dihydrobenzo[d][1,3]oxazin-2-one; 6-(3-Bromo-5-fluorophenyl)-1,4,4-trimethyl-1,4-dihydrobenzo[d][1,3]oxazin-2-one; 6-(3-Methoxyphenyl)-4-methyl-4-trifluoromethyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one; 6-(3-Acetyl-phenyl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]-oxazin-2-one; 6-(3-Benzoyl-phenyl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]-oxazin-2-one; 4-(4,4-Dicyclopropyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-thiophene-2-carbonitrile; 6-(3-Bromo-5-fluoro-phenyl)-4,4-dicyclopropyl-1,4-dihydrobenzo-[d][1,3]oxazin-2-one; 3-(4,4-Dicyclopropyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-5-fluoro-benzonitrile; 6-(3-Bromo-5-methyl-phenyl)-4,4-dimethyl-1,4-dihydrobenzo-[d][1,3]oxazin-2-one; 6-(3-Bromo-5-trifluoromethoxy-phenyl)-4,4-dimethyl-1,4-dihydrobenzo[d][1,3]-oxazin-2-one; 3-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-5-methyl-benzonitrile; 3-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-5-trifluoromethoxy-benzonitrile; 6-(3,5-difluoro-phenyl)-4,4-dimethyl-1,4-dihydrobenzo-[d][1,3]oxazin-2-one; 6-(3,5-dichloro-phenyl)-4,4-dimethyl-1,4-dihydrobenzo-[d][1,3]oxazin-2-one; 6-(3,5-Bis-trifluoromethyl-phenyl)-4,4-dimethyl-1,4-dihydrobenzo[d][1,3]oxazin-2-one; 3-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-5-methoxy-benzonitrile; 6-(3-Fluoro-phenyl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]-oxazin-2-one; 6-(3-Chloro-4-fluoro-phenyl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one; 3-(1-Diethoxymethyl-4,4-dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-5-fluoro-benzonitrile; 3-Fluoro-5-(1-methoxymethyl-4,4-dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-benzonitrile; Phosphoric acid 6-(3-cyano-5-fluoro-phenyl)-4,4-dimethyl-4H-benzo[d][1,3]oxazin-2-yl ester diethyl ether; 3-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-4-fluoro-benzonitrile; 6-(3-Chloro-4-fluoro-

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phenyl)-8-fluoro-4,4-dimethyl-1,4-dihydrobenzo[d][1,3]-oxazin-2-one; 6-(3-Bromophenyl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]-oxazin-2-one; 6-(3-Ethynyl-phenyl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]-oxazin-2-one; 3-[3-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-phenyl]-propynenitrile; 6-(3-Fluoro-5-nitrophenyl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one; 6-(3-Chloro-5-fluorophenyl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one; 3-Chloro-5-(4,4-dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-benzonitrile; 6-(3,5-Dinitro-phenyl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one; 5-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-isophthalonitrile; 4,4-Dimethyl-6-(3-thiazol-2-yl-phenyl)-1,4-dihydro-benzo[d][1,3]oxazin-2-one; 6-(3-Fluoro-5-methoxy-phenyl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one; 6-(3-Fluoro-5-trifluoromethyl-phenyl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one; 6-(5-Bromo-pyridin-3-yl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one; 6-(5-Bromo-1-oxy-pyridin-3-yl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one; 6-(3-Cyano-5-fluoro-phenyl)-4,4-dimethyl-2-oxo-4H-benzo[d][1,3]oxazine-1-carboxylic acid tert-butyl ester; 5-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-2-fluoro-benzonitrile; 4-(8-Fluoro-4,4-dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-thiophene-2-carbonitrile; 3-Fluoro-5-(8-fluoro-4,4-dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-benzonitrile; 5-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-thiophene-3-carbonitrile; 2-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-thiophene-3-carbonitrile; 6-(1,2,4-thiadiazol-3-yl-phenyl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one; 6-(3-Fluoro-5-thiophen-3-yl-phenyl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one; 4,4-Dimethyl-6-(5-nitro-1H-pyrrol-2-yl)-1,4-dihydrobenzo[d][1,3]oxazin-2-one; 4,4-Dimethyl-6-(1H-pyrrol-2-yl)-1,4-dihydro-benzo[d][1,3]oxazin-2-one; 4,4-Dimethyl-6-(1-methyl-1H-pyrrol-2-yl)-1,4-dihydro-benzo[d][1,3]oxazin-2-one; 4,4-Dimethyl-6-(1-methyl-5-nitro-1H-pyrrol-2-yl)-1,4-dihydro-benzo[d][1,3]oxazin-2-one; 3-(1,2-Dihydro-2-oxospiro[4H-3,1-benzoxazine-4,1-cyclohexan]-6-yl)-benzonitrile; 3-(1,2-Dihydro-2-oxospiro[4H-3,1-benzoxazine-4,1-cyclohexan]-6-yl)-5-fluorobenzonitrile; 4-(1,2-Dihydro-2-oxospiro[4H-

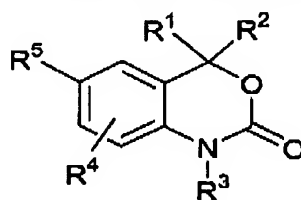
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3,1-benzoxazine-4,1-cyclohexan]-6-yl)-2-thiophenecarbonitrile; 5-(1,2-Dihydro-2-oxospiro[4H-3,1-benzoxazine-4,1-cyclohexan]-6-yl)-2-thiophenecarbonitrile; 5-(1,2-Dihydro-2-oxospiro[4H-3,1-benzoxazine-4,1-cyclohexan]-6-yl)-4-methyl-2-thiophenecarbonitrile; 5-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-4-ethyl-thiophene-2-carbonitrile; 5-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-4-n-propyl-thiophene-2-carbonitrile; 6-(4-Cyano-3-fluorophenyl)-4,4-dimethyl-1,4-dihydrobenzo[d][1,3]-oxazin-2-one; 6-(4-Fluoro-phenyl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]-oxazin-2-one; 6-(3,4-Difluoro-phenyl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one; 6-(2-Fluoro-phenyl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]-oxazin-2-one; 3-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)phenylacetonitrile; 5-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-furan-2-carbonitrile; 3-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-2-fluoro-benzonitrile; 6-(3-Methoxyphenyl)spiro[4H-3,1-benzoxazine-4,1-cyclobutan]-2(1H)-one; 8-Bromo-6-(3-chloro-4-fluorophenyl)-4,4-dimethyl-1,4-dihydro-2H-3,1-benzoxazin-2-one; 3-(8-Bromo-4,4-dimethyl-2-oxo-1,4-dihydro-2H-3,1-benzoxazin-6-yl)-5-fluorobenzonitrile; 3-(8-Bromo-4,4-dimethyl-2-oxo-1,4-dihydro-2H-3,1-benzoxazin-6-yl)-5-fluorobenzonitrile; 5-(8-Bromo-4,4-dimethyl-2-oxo-1,4-dihydro-2H-3,1-benzoxazin-6-yl)-2-fluorobenzonitrile; 6-(3-Bromophenyl)-1,4,4-trimethyl-1,4-dihydro-2H-3,1-benzoxazin-2-one; 6-(3-Fluorophenyl)-4-methyl-1,4-dihydro-2H-3,1-benzoxazin-2-one; 3-(4,4-Dimethyl-8-methoxy-2-oxo-1,4-dihydro-2H-3,1-benzoxazin-6-yl)-5-fluorobenzonitrile; 3-(4,4-Dimethyl-8-hydroxy-2-oxo-1,4-dihydro-2H-3,1-benzoxazin-6-yl)-5-fluorobenzonitrile; 6-(2,3-Difluoro-phenyl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one; 3-(1-Ethyl-4,4-dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-5-fluoro-benzonitrile; [6-(4,4-dimethyl-2-oxo-1,4-dihydro-2H-3,1-benzoxazin-6-yl)pyridin-2-yl]acetonitrile; 3-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]-oxazin-6-yl)-5-fluoro-phenylacetonitrile; 3-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]-oxazin-6-yl)-4-fluoro-phenylacetonitrile; 4-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-2-fluorophenylacetonitrile; 2-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]-oxazin-6-yl)phenylacetonitrile; 6-(3-

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Fluoro-4-methoxy-phenyl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one; 3-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]-oxazin-6-yl)phenylacetonitrile; 6-(6-Amino-pyridin-3-yl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]oxazine-2-one; 6-(5-Diethoxymethyl-furan-3-yl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one; and 4-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-furan-2-carbaldehyde; or a pharmaceutically acceptable salt thereof.

48(Original). A method of hormone replacement therapy, the method comprising administering to a mammal in need thereof a compound of the formula (I):



I

wherein:

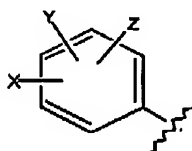
R¹ and R² are independent substituents selected from the group consisting of H and C₁ to C₆ alkyl;

R³ is H;

R⁴ is H;

R⁵ is selected from the group consisting of (i) and (ii):

(i) a substituted benzene ring having the substituents X, Y and Z as shown below:



wherein:

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X is selected from the group consisting of halogen, CN, C₁ to C₃ alkyl, and substituted C₁ to C₃ alkyl;

Y and Z are independent substituents selected from the group consisting of H, halogen, CN, NO₂, and C₁ to C₃ alkyl; and

(ii) a five or six membered ring having in its backbone 1, 2, or 3 heteroatoms selected from the group consisting of O, S, SO, SO₂ and NR⁶ and containing one or two independent substituents selected from the group consisting of H, halogen, and CN;

wherein when R³ is a five-membered ring having in its backbone a NR⁶ heteroatom, and when R⁵ is attached at the two position of said ring, there is no CN substituent in the five position on said ring; or pharmaceutically acceptable salt thereof.

49(Original). A compound selected from the group consisting of 6-(3-Methoxyphenyl)spiro[4H-3,1-benzoxazine-4,1-cyclobutan]-2(1H)-one; 8-Bromo-6-(3-chloro-4-fluorophenyl)-4,4-dimethyl-1,4-dihydro-2H-3,1-benzoxazin-2-one; 3-(8-Bromo-4,4-dimethyl-2-oxo-1,4-dihydro-2H-3,1-benzoxazin-6-yl)-5-fluorobenzonitrile; 3-(8-Bromo-4,4-dimethyl-2-oxo-1,4-dihydro-2H-3,1-benzoxazin-6-yl)-5-fluorobenzonitrile; 5-(8-Bromo-4,4-dimethyl-2-oxo-1,4-dihydro-2H-3,1-benzoxazin-6-yl)-2-fluorobenzonitrile; 6-(3-Bromophenyl)-1,4,4-trimethyl-1,4-dihydro-2H-3,1-benzoxazin-2-one; 6-(3-Fluorophenyl)-4-methyl-1,4-dihydro-2H-3,1-benzoxazin-2-one; 3-(4,4-Dimethyl-8-methoxy-2-oxo-1,4-dihydro-2H-3,1-benzoxazin-6-yl)-5-fluorobenzonitrile; 3-(4,4-Dimethyl-8-hydroxy-2-oxo-1,4-dihydro-2H-3,1-benzoxazin-6-yl)-5-fluorobenzonitrile; 6-(2,3-Difluoro-phenyl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one; 3-(1-Ethyl-4,4-dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-5-fluoro-benzonitrile; [6-(4,4-dimethyl-2-oxo-1,4-dihydro-2H-3,1-benzoxazin-6-yl)pyridin-2-yl]acetonitrile; 3-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]-oxazin-6-yl)-5-fluoro-phenylacetonitrile; 3-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]-oxazin-6-yl)-4-fluoro-phenylacetonitrile; 4-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-2-fluorophenylacetonitrile; 2-(4,4-

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Dimethyl 2-oxo-1,4-dihydro-2H-benzo[d][1,3]-oxazin-6-yl)phenylacetonitrile; 6-(3-Fluoro-4-methoxy-phenyl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one; 3-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]-oxazin-6-yl)phenylacetonitrile; 6-(6-Amino-pyridin-3-yl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]oxazine-2-one; 6-(5-Diethoxymethyl-furan-3-yl)-4,4-dimethyl-1,4-dihydro-benzo[d][1,3]oxazin-2-one; 4-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]oxazin-6-yl)-furan-2-carbaldehyde; N-[4-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-3,1-benzoxazin-6-yl)-2-fluoro-phenyl]-acetamide; 3-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]-oxazin-6-yl)benzenesulfonamide; 5-(4,4-Dimethyl-2-oxo-1,4-dihydro-2H-benzo[d][1,3]-oxazin-6-yl)-thiophene-2-sulfonamide; and 4-(1,4-Dihydro-4,4-dimethyl-2-oxo-2H-3,1-benzoxazin-6-yl)-2-furancarboxaldehyde oxime;
or a pharmaceutically acceptable salt thereof.